

WE CLAIM:

1. An antimicrobial polymeric compound having formula (1):



P comprises a polymer linked to X via a carboxyl group;

X comprises a group $-(R-V^{m+}-R^1-R^2)_q(Y^{p-})$;

n is an integer of $1-1 \times 10^7$;

- 10 R is independently selected from divalent hydrocarbon radicals;

V comprises a positively charged moiety;

m represents an integer;

R^1 is independently selected from divalent hydrocarbon radicals;

R^2 is independently selected from the group consisting of -H, -SH, -F, -Cl, -Br, -I, -OR³,

- 15 -HN(O)CR⁴, or -O(O)CR⁵, wherein R³, R⁴ and R⁵ are independently selected from the group consisting of -H and monovalent hydrocarbon radicals;

Y represents an anion;

q represents m/p; and,

p represents an integer;

- 20 or a pharmaceutically acceptable derivative of a compound of formula (1).

2. A compound according to claim 1, wherein P is a carboxyl group-containing polysaccharide.

- 25 3. A compound according to claim 2, wherein the polysaccharide is selected from the group consisting of carboxyl group-containing celluloses, modified starches, chitosans, guar gums, glycans, galactans, glucans, xanthan gums, alginic acids, polymannuric acids, hyaluronic acids, polyglycosuronic and polyguluronic acids, mannans, dextrans, cyclodextrins and mixtures thereof, as well as other synthetically
- 30 carboxylated or naturally occurring carboxylated polysaccharides, which may be linear or branched, preferably hyaluronic acid, gellan, xanthan, succinoglycan, pectin,

chondroitine sulphate, heparan sulphate, dermatan, more preferably alginic acid and hyaluronic acid, particularly alginic acid.

4. A compound according to claim 1, wherein the polymer comprises a synthetic
 5 polymer obtainable by homo- or co-polymerisation of a monomer selected from the
 group consisting of (meth)acrylic acid, methyl (meth)acrylate, ethyl (meth)acrylate, n-
 propyl (meth)acrylate, isopropyl (meth)acrylate, n-butyl (meth)acrylate, isobutyl
 (meth)acrylate, tert-butyl (meth)acrylate, n-pentyl (meth)acrylate, n-hexyl
 (meth)acrylate, cyclohexyl (meth)acrylate, n-heptyl (meth)acrylate, n-octyl
 10 (meth)acrylate, 2-ethylhexyl (meth)acrylate, nonyl (meth)acrylate, decyl (meth)acrylate,
 dodecyl (meth)acrylate, phenyl (meth)acrylate, tolyl (meth)acrylate, benzyl
 (meth)acrylate, 2-methoxyethyl (meth)acrylate, 3-methoxybutyl (meth)acrylate, 2-
 hydroxyethyl (meth)acrylate, 2-hydroxypropyl (meth)acrylate, stearyl (meth)acrylate,
 glycidyl (meth)acrylate, 2-aminoethyl (meth)acrylate, (meth)acrylic acid-ethylene oxide
 15 adducts, trifluoromethylmethyl (meth)acrylate, 2-trifluoromethylethyl (meth)acrylate, 2-
 perfluoroethylethyl (meth)acrylate, 2-perfluoroethyl-2perfluorobutylethyl (meth)acrylate,
 2-perfluoroethyl (meth)acrylate, perfluoromethyl (meth)acrylate,
 diperfluoromethylmethyl (meth)acrylate, 2-perfluoromethyl-2-perfluoroethylmethyl
 (meth)acrylate, 2-perfluorohexylethyl (meth)acrylate, 2-perfluorodecylethyl
 20 (meth)acrylate, 2-perfluorohexadecylethyl (meth)acrylate and mixtures thereof.

5. A compound according to claim 1, wherein the polymer P comprises $10^{-1} \times 10^7$
 monomeric units, more preferably $20^{-1} \times 10^6$, more preferably $30^{-1} \times 10^5$, more
 preferably $40^{-1} \times 10^4$ most preferably greater than 1000 monomeric units.

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6. A compound according to any preceding claim, wherein R^2 is -H.

7. A compound according to any preceding claim, wherein R is selected from the
 group consisting of C_{1-20} alkanediyl, C_{2-20} alkenediyl, C_{2-20} alkynediyl, C_{3-30}
 30 cycloalkanediyl, C_{3-30} cycloalkenediyl, C_{5-30} cycloalkynediyl, C_{7-30} aralkylenediyl, C_{7-30}
 alkarylenediyl and C_{5-30} arylenediyl, preferably selected from the group consisting of C_{1-}
 16 alkanediyl, C_{2-16} alkenediyl, C_{2-16} alkynediyl, C_{4-20} cycloalkanediyl, C_{4-20}

cycloalkenediyl, C₅₋₂₀ cycloalkynediyl, C₇₋₂₀ aralkylenediyl, C₇₋₂₀ alkarylenediyl and C₆₋₂₀ arylenediyl, more preferably selected from the group consisting of straight chain C₁₋₁₆ alkanediyl, C₂₋₁₆ alkenediyl C₆₋₁₆ aralkylenediyl and C₆₋₁₆ alkarylenediyl, most preferably, R is selected from methylene, 1,2-ethylene, 1,2-propylene, 1,3-propylene, 1,2-butylene, 1,3-butylene, 1,4-butylene, 1,5-pentylene, 1,6-hexylene, 1,8-octylene, 1,10-decylene and 1,12-dodecylene.

8. A compound according to any preceding claim, wherein substantially all groups R are the same.

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9. A compound according to any of claims 1 to 7, wherein R represents a mixture of hydrocarbon chains.

10. A compound according to any preceding claim, wherein R¹ is selected from the group consisting of C₁₋₃₀ alkanediyl, C₂₋₃₀ alkenediyl, C₂₋₃₀ alkynediyl, C₃₋₃₅ cycloalkanediyl, C₃₋₃₅ cycloalkenediyl, C₅₋₃₅ cycloalkynediyl, C₇₋₃₅ aralkylenediyl, C₇₋₃₅ alkarylenediyl and C₅₋₃₅ arylenediyl, preferably selected from the group consisting of C₁₋₁₈ alkanediyl, C₂₋₁₈ alkenediyl, C₂₋₁₈ alkynediyl, C₄₋₂₀ cycloalkanediyl, C₄₋₂₀ cycloalkenediyl, C₅₋₂₀ cycloalkynediyl, C₇₋₂₀ aralkylenediyl, C₇₋₂₀ alkarylenediyl and C₆₋₂₀ arylenediyl, more preferably selected from the group consisting of straight chain C₁₋₁₅ alkanediyl, C₂₋₁₅ alkenediyl, C₆₋₁₅ aralkylenediyl and C₆₋₁₅ alkarylenediyl, most preferably, R¹ is selected from 1,6-hexylene, 1,8-octylene, 1,10-decylene and 1,12-dodecylene.

11. A compound according to any preceding claim, wherein R¹ comprises a mixture of hydrocarbon chains.

12. A compound according to claim 11, wherein at least some of the hydrocarbon chains R¹ in the mixture have 12-18 carbon atoms, preferably 12-16 carbon atoms, more preferably 12 or 16 carbon atoms.

13. A compound according to claim 11, wherein R^1 has greater than 10 carbon atoms in the chain, preferably R^1 comprises 12 or 16 carbon atoms.
14. A compound according to any preceding claim, wherein m is 1, 2, 3, 4, 5 or 6,
5 preferably 1, 2 or 3.
15. A compound according to claim 14, wherein m 1 or 2.
16. A compound according to any of claims 1 to 13, wherein p is 1, 2, 3, 4, 5 or 6,
10 preferably 1, 2 or 3.
17. A compound according to any preceding claim, wherein Y represents one or more anions that balance the charge of positively charged moiety V.
- 15 18. A compound according to any preceding claim, wherein Y is selected from the group consisting of N-hydroxysuccinimidyl, N-hydroxybenzotriazolyl, nitrate, sulfate, bisulfate, phosphate (mono-, bi-, or triphosphate), carbonate, bicarbonate, acetate, tosylates, mesylates, brosylates, and halides including chloride, bromide, and iodide and mixtures thereof.
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19. A compound according to any preceding claim, wherein R^3 , R^4 and R^5 are independently selected from the group consisting of -H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-30} cycloalkyl, C_{3-30} cycloalkenyl, C_{4-30} cycloalkynyl, C_{7-30} aralkyl, C_{7-30} alkaryl and C_{5-30} aryl, preferably R^3 , R^4 and R^5 are independently selected from the group
25 consisting of -H, C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{4-20} cycloalkynyl, C_{7-20} aralkyl, C_{7-20} alkaryl and C_{6-20} aryl, more preferably R^3 , R^4 and R^5 are independently selected from the group consisting of -H, straight chain C_{1-10} alkyl, C_{2-10} alkenyl and C_{6-12} aryl, most preferably, R^3 , R^4 and R^5 are independently selected from the group consisting of H, methyl, ethyl, propyl, butyl,
30 hexyl, cyclohexyl, octyl, nonyl, dodecyl, eicosyl, norbornyl, adamantyl, vinyl, propenyl, cyclohexenyl, benzyl, phenylethyl, phenylpropyl, phenyl, tolyl, dimethylphenyl, trimethylphenyl, ethylphenyl, propylphenyl, biphenyl, naphthyl, methylnaphthyl, anthryl,

phenanthryl, benzylphenyl, pyrenyl, acenaphthyl, phenalenyl, aceanthrylenyl, tetrahydronaphthyl, indanyl, biphenyl, particularly methyl, ethyl, propyl and isopropyl.

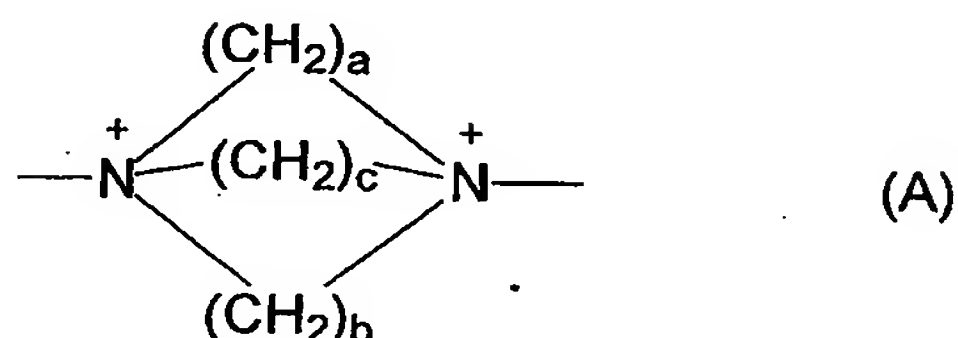
20. A compound according to any preceding claim, wherein the polysaccharide, P, comprises $10^{-1} \times 10^5$ monosaccharide moieties, more preferably $20^{-1} \times 10^4$, more preferably $30^{-1} \times 10^4$, more preferably $40^{-1} \times 10^4$ most preferably greater than 100 monosaccharide moieties.

21. A compound according to any preceding claim, wherein V comprises a positively charged moiety comprising one or two positively charged nitrogen atoms, one or two positively charged phosphorous atoms, one or two positively charged sulfur atoms, or mixtures thereof, preferably nitrogen atoms.

22. A compound according to any preceding claim, wherein V comprises a singly charged quaternary ammonium, quaternary phosphonium or sulfonium group, having the formula $^+ -NR^6_2$ -, $^+ -PR^7_2$ -, or $^+ -SR^8$ -, respectively, wherein R^6 , R^7 and R^8 are independently selected from the group consisting of H and monovalent hydrocarbon radicals.

23. A compound according to claim 22, wherein R^6 , R^7 and R^8 are independently selected from the group consisting of -H, C_{1-20} alkyl, C_{2-20} alkenyl, C_{2-20} alkynyl, C_{3-30} cycloalkyl, C_{3-30} cycloalkenyl, C_{4-30} cycloalkynyl, C_{7-30} aralkyl, C_{7-30} alkaryl and C_{5-30} aryl, preferably R^6 , R^7 and R^8 are independently selected from the group consisting of -H, C_{1-15} alkyl, C_{2-15} alkenyl, C_{2-15} alkynyl, C_{3-20} cycloalkyl, C_{3-20} cycloalkenyl, C_{4-20} cycloalkynyl, C_{7-20} aralkyl, C_{7-20} alkaryl and C_{6-20} aryl, more preferably R^6 , R^7 and R^8 are independently selected from the group consisting of -H, straight chain C_{1-10} alkyl, C_{2-10} alkenyl and C_{6-12} aryl, most preferably, R^6 , R^7 and R^8 are independently selected from the group consisting of methyl, ethyl, propyl, butyl, hexyl, cyclohexyl, octyl, nonyl, dodecyl, eicosyl, norbornyl and adamantyl, vinyl, propenyl, cyclohexenyl, benzyl, phenylethyl, phenylpropyl, phenyl, tolyl, dimethylphenyl, trimethylphenyl, ethylphenyl, propylphenyl, biphenyl, naphthyl, methylnaphthyl, anthryl, phenanthryl, benzylphenyl, pyrenyl, acenaphthyl, phenalenyl, aceanthrylenyl, tetrahydronaphthyl, indanyl, biphenyl, particularly methyl, ethyl, propyl and isopropyl.

24. A compound according to claim 22 or claim 23, wherein V comprises two positively charged nitrogen atoms, preferably $^+NR^6_2-R^9-NR^6_2^+$ or a group (A):

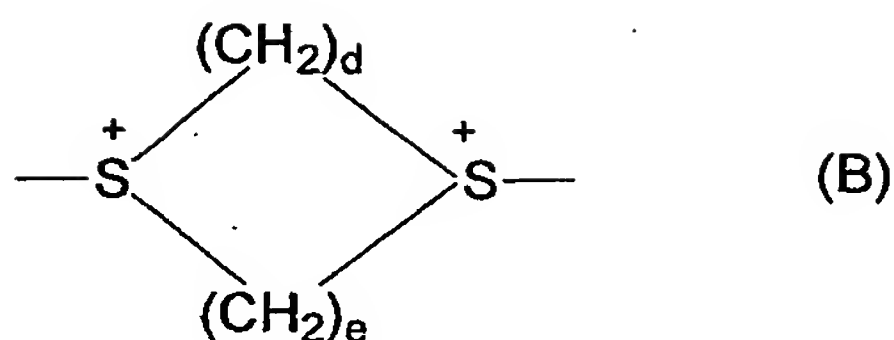


5 wherein a, b and c independently represent 1-10, preferably, 1-5, more preferably 1-3, most preferably 2, and wherein R^9 is selected from the group consisting of C_{1-20} alkanediyl, C_{2-20} alkenediyl, C_{2-20} alkynediyl, C_{3-30} cycloalkanediyl, C_{3-30} cycloalkenediyl, C_{5-30} cycloalkynediyl, C_{7-30} aralkylenediyl, C_{7-30} alkarylenediyl and C_{5-30} arylenediyl, 10 preferably R^9 is selected from the group consisting of C_{1-16} alkanediyl, C_{2-16} alkenediyl, C_{2-16} alkynediyl, C_{4-20} cycloalkanediyl, C_{4-20} cycloalkenediyl, C_{5-20} cycloalkynediyl, C_{7-20} aralkylenediyl, C_{7-20} alkarylenediyl and C_{6-20} arylenediyl, more preferably R^9 is selected from the group consisting of straight chain C_{1-16} alkanediyl, C_{2-16} alkenediyl, C_{6-16} aralkylenediyl and C_{6-16} alkarylenediyl, most preferably, R^9 is selected from methylene, 15 1,2-ethylene, 1,2-propylene, 1,3-propylene, 1,2-butylene, 1,3-butylene, 1,4-butylene, 1,5-pentylene, 1,6-hexylene, 1,8-octylene, 1,10-decylene and 1,12-dodecylene.

25. A compound according to claim 24, wherein (A) is 1,4-diazoniabicyclo[2.2.2]octane.

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26. A compound according to claim 22 or claim 23, wherein V comprises two positively charged sulfur atoms, preferably $^+SR^8-R^{10}-SR^{8+}$ or a group (B)

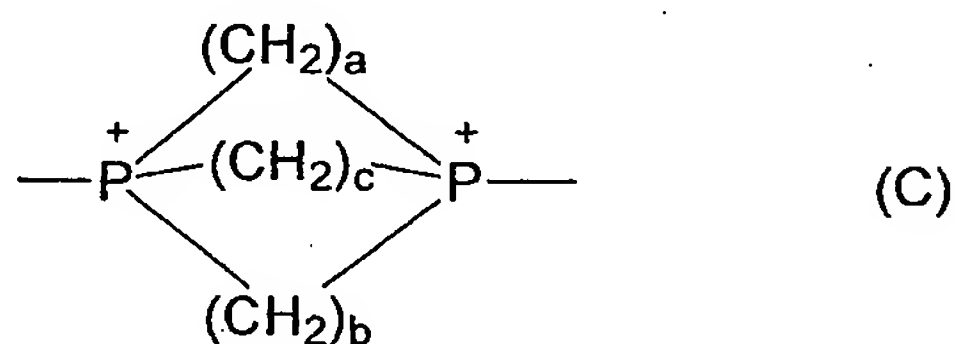


wherein d and e independently represent 1-10, preferably, 1-5, more preferably 1-3, most preferably 2, and wherein R¹⁰ is selected from the group consisting of C₁₋₂₀ alkanediyl, C₂₋₂₀ alkenediyl, C₂₋₂₀ alkynediyl, C₃₋₃₀ cycloalkanediyl, C₃₋₃₀ cycloalkenediyl, C₅₋₃₀ cycloalkynediyl, C₇₋₃₀ aralkylenediyl, C₇₋₃₀ alkarylenediyl and C₅₋₃₀ arylenediyl, preferably R¹⁰ is selected from the group consisting of C₁₋₁₆ alkanediyl, C₂₋₁₆ alkenediyl, C₂₋₁₆ alkynediyl, C₄₋₂₀ cycloalkanediyl, C₄₋₂₀ cycloalkenediyl, C₅₋₂₀ cycloalkynediyl, C₇₋₂₀ aralkylenediyl, C₇₋₂₀ alkarylenediyl and C₆₋₂₀ arylenediyl, more preferably R¹⁰ is selected from the group consisting of straight chain C₁₋₁₆ alkanediyl, C₂₋₁₆ alkenediyl, C₆₋₁₆ aralkylenediyl and C₆₋₁₆ alkarylenediyl, most preferably, R¹⁰ is selected from methylene, 1,2-ethylene, 1,2-propylene, 1,3-propylene, 1,2-butylene, 1,3-butylene, 1,4-butylene, 1,5-pentylene, 1,6-hexylene, 1,8-octylene, 1,10-decylene and 1,12-dodecylene.

27. A compound according to claim 26, wherein (B) is 1,4-dithioniumcyclohexane.

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28. A compound according to claim 22 or claim 23, wherein V comprises two positively charged phosphorus atoms, preferably ⁺PR₂⁷-R^{9'}-PR₂⁷⁺ or a group (C)



wherein a, b and c independently represent 1-10, preferably, 1-5, more preferably 1-3, most preferably 2, and wherein R^{9'} is selected from the group consisting of C₁₋₂₀ alkanediyl, C₂₋₂₀ alkenediyl, C₂₋₂₀ alkynediyl, C₃₋₃₀ cycloalkanediyl, C₃₋₃₀ cycloalkenediyl, C₅₋₃₀ cycloalkynediyl, C₇₋₃₀ aralkylenediyl, C₇₋₃₀ alkarylenediyl and C₅₋₃₀ arylenediyl, preferably R^{9'} is selected from the group consisting of C₁₋₁₆ alkanediyl, C₂₋₁₆ alkenediyl, C₂₋₁₆ alkynediyl, C₄₋₂₀ cycloalkanediyl, C₄₋₂₀ cycloalkenediyl, C₅₋₂₀ cycloalkynediyl, C₇₋₂₀

aralkylenediyl, C₇₋₂₀ alkarylenediyl and C₆₋₂₀ arylenediyl, more preferably R^{9'} is selected from the group consisting of straight chain C₁₋₁₆ alkanediyl, C₂₋₁₆ alkenediyl, C₆₋₁₆ aralkylenediyl and C₆₋₁₆ alkarylenediyl, most preferably, R^{9'} is selected from methylene, 1,2-ethylene, 1,2-propylene, 1,3-propylene, 1,2-butylene, 1,3-butylene, 1,4-butylene, 1,5-pentylene, 1,6-hexylene, 1,8-octylene, 1,10-decylene and 1,12-dodecylene.

29. A compound according to claim 28, wherein (C) is 1,4-diphosphoniabicyclo[2.2.2]octane.

10 30. A process for the preparation of a compound having formula (1), comprising reacting a compound having the formula (2):



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wherein:

P is as defined in any preceding claim;

n is as defined in any preceding claim;

Z is a cation;

20 f represents 1/g; and

g represents 1, 2, 3, 4, 5 or 6;

with a group having the formula (3)



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wherein X is as defined in any preceding claim; and,

L is a leaving group.

31. A process according to claim 30 wherein L is selected from the group consisting of N-hydroxysuccinimide, N-hydroxybenzotriazole, nitrate, sulfate, bisulfate, phosphate (mono-, bi-, or triphosphate), carbonate, bicarbonate, acetate, tosylates, mesylates, brosylates, and halides including chloride, bromide, and iodide, preferably tosylate.

32. Use of a compound according to any of claims 1 to 29, in the manufacture of antimicrobial materials.
- 5 33. A wound dressing comprising a compound according to any of claims 1 to 29.
34. A wound dressing according to claim 33, for mammalian wounds, and especially for human, chronic wounds, such as venous ulcers, decubitis ulcers and diabetic ulcers.
- 10 35. An antimicrobial surface comprising a compound according to any of claims 1 to 29.
36. A pharmaceutical composition comprising a compound according to any of claims 1 to 29, in combination with a pharmaceutically acceptable excipient.
- 15 37. A compound according to any of claims 1 to 29, for use in a method of medical treatment, of the human or animal body, by way of therapy.
38. Use of a compound according to any of claims 1 to 29, in a method of
20 manufacture of a medicament, for the treatment of microbial, preferably bacterial infection.
39. A method of treating a patient for a microbial infection, preferably a bacterial infection, comprising administering a therapeutically effective amount of a compound
25 according to any of claims 1 to 29.
40. An ophthalmic lens comprising a compound according to any of claims 1 to 29.
41. An ophthalmic lens according to claim 40, selected from a contact lens and an
30 intraocular lens.